



# **STIC Search Report**

## **Biotech-Chem Library**

**STIC Database Tracking Number: 143802**

**TO: Shailendra Kumar**  
**Location: 5c03 / 5c18**  
**Monday, February 07, 2005**  
**Art Unit: 1621**  
**Phone: 272-0640**  
**Serial Number: 10 / 769219**

**From: Jan Delaval**  
**Location: Biotech-Chem Library**  
**Rem 1a51**  
**Phone: 272-2504**  
  
**jan.delaval@uspto.gov**

### **Search Notes**

Jan please

## SEARCH REQUEST FORM

Scientific and Technical Information Center

Requester's Full Name: S. Kumar Examiner #: 69594 Date: 1/31/05  
 Art Unit: 1621 Phone Number: 2-0640 Serial Number: 10/769 219  
 Mail Box and Bldg/Room Location: REM 5C03 Results Format Preferred (circle): PAPER DISK E-MAIL  
5C18

If more than one search is submitted, please prioritize searches in order of need.

\*\*\*\*\*  
 Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc. if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

Title of invention: Beta 2-adrenergic agonists

Inventors (please provide full names): Edmund Moran et al.

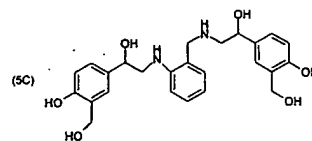
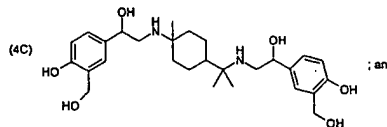
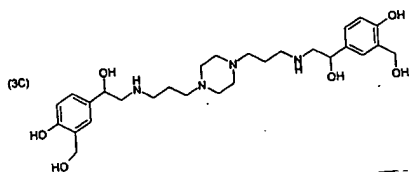
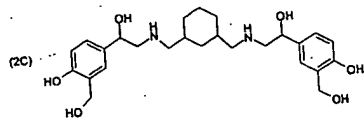
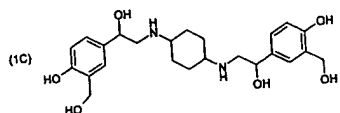
Earliest Priority Filing Date: 6/7/1999

\*For Sequence Searches Only\* Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.

## II. AMENDMENTS TO THE CLAIMS

Please cancel Claims 1-49 without prejudice and insert new Claims 50-59.

50. A compound selected from the group consisting of:



Preliminary Amendment  
 Attorney Docket No. P-02-1054  
 Customer No. 27038  
 Page 4

## STAFF USE ONLY

STAFF USE ONLY		Type of Search	Vendors and cost where applicable
Searcher: <u>an</u>	NA Sequence (#) _____	STN <input checked="" type="checkbox"/>	
Searcher Phone #: <u>22504</u>	AA Sequence (#) _____	Dialog _____	
Searcher Location: _____	Structure (#) <input checked="" type="checkbox"/>	Questel/Orbit _____	
Date Searcher Picked Up: <u>2/7/05</u>	Bibliographic _____	Dr. Link _____	
Date Completed: <u>2/17/05</u>	Litigation _____	Lexis/Nexis _____	
Searcher Pre-Review Time _____	Patent Family _____	Sequence Systems _____	
Technical Prep. Time: <u>15</u>	Other _____	WWW/Internet: _____	
Online Time: <u>15</u>		Other (specify): _____	

=> fil reg

FILE 'REGISTRY' ENTERED AT 15:28:14 ON 07 FEB 2005

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

COPYRIGHT (C) 2005 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 6 FEB 2005 HIGHEST RN 826990-02-7

DICTIONARY FILE UPDATES: 6 FEB 2005 HIGHEST RN 826990-02-7

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:

<http://www.cas.org/ONLINE/DBSS/registryss.html>

=> d l18 ide can tot

L18 ANSWER 1 OF 5 REGISTRY COPYRIGHT 2005 ACS on STN

RN 321708-35-4 REGISTRY

CN 1,3-Benzenedimethanol, 4-hydroxy- $\alpha$ 1-[[[2-[[[2-hydroxy-2-[4-hydroxy-3-(hydroxymethyl)phenyl]ethyl]amino]methyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

FS 3D CONCORD

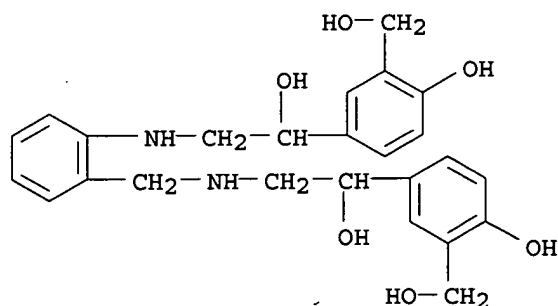
MF C25 H30 N2 O6

SR CA

LC STN Files: CA, CAPLUS, USPAT2, USPATFULL

DT.CA CAPLUS document type: Patent

RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

3 REFERENCES IN FILE CA (1907 TO DATE)

3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

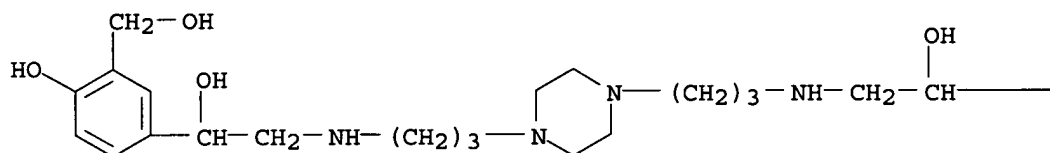
REFERENCE 1: 136:355062

REFERENCE 2: 135:45979

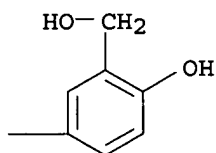
REFERENCE 3: 134:131310

L18 ANSWER 2 OF 5 REGISTRY COPYRIGHT 2005 ACS on STN  
 RN 321708-29-6 REGISTRY  
 CN 1,3-Benzenedimethanol,  $\alpha 1, \alpha 1'$ -[1,4-piperazinediylbis(3,1-propanediyliminomethylene)]bis[4-hydroxy- (9CI) (CA INDEX NAME)  
 FS 3D CONCORD  
 MF C28 H44 N4 O6  
 SR CA  
 LC STN Files: CA, CAPLUS, USPAT2, USPATFULL  
 DT.CA Caplus document type: Patent  
 RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

PAGE 1-A



PAGE 1-B



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

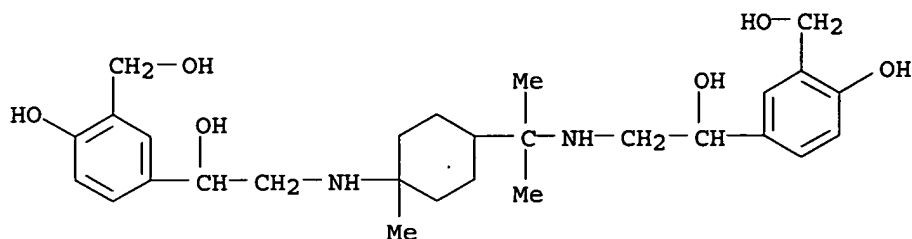
3 REFERENCES IN FILE CA (1907 TO DATE)  
 3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 136:355062

REFERENCE 2: 135:45979

REFERENCE 3: 134:131310

L18 ANSWER 3 OF 5 REGISTRY COPYRIGHT 2005 ACS on STN  
 RN 321708-27-4 REGISTRY  
 CN 1,3-Benzenedimethanol, 4-hydroxy- $\alpha 1$ -[[[1-[4-[[2-hydroxy-2-[4-hydroxy-3-(hydroxymethyl)phenyl]ethyl]amino]-4-methylcyclohexyl]-1-methylethyl]amino]methyl]- (9CI) (CA INDEX NAME)  
 FS 3D CONCORD  
 MF C28 H42 N2 O6  
 SR CA  
 LC STN Files: CA, CAPLUS, USPAT2, USPATFULL  
 DT.CA Caplus document type: Patent  
 RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

3 REFERENCES IN FILE CA (1907 TO DATE)  
3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 136:355062

REFERENCE 2: 135:45979

REFERENCE 3: 134:131310

L18 ANSWER 4 OF 5 REGISTRY COPYRIGHT 2005 ACS on STN

RN 321708-25-2 REGISTRY

CN 1,3-Benzenedimethanol,  $\alpha, \alpha'$ -[1,3-cyclohexanediylbis(methyleneiminomethylene)]bis[4-hydroxy- (9CI) (CA INDEX NAME)

FS 3D CONCORD

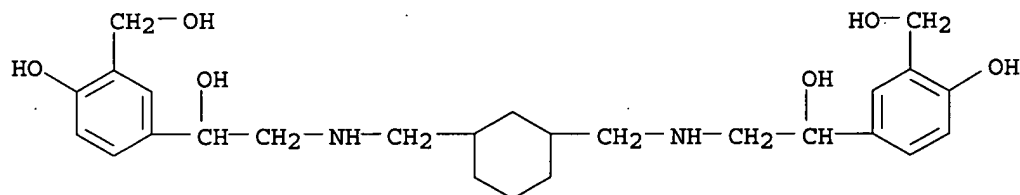
MF C26 H38 N2 O6

SR CA

LC STN Files: CA, CAPLUS, USPAT2, USPATFULL

DT.CA Caplus document type: Patent

RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

3 REFERENCES IN FILE CA (1907 TO DATE)  
3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 136:355062

REFERENCE 2: 135:45979

REFERENCE 3: 134:131310

L18 ANSWER 5 OF 5 REGISTRY COPYRIGHT 2005 ACS on STN

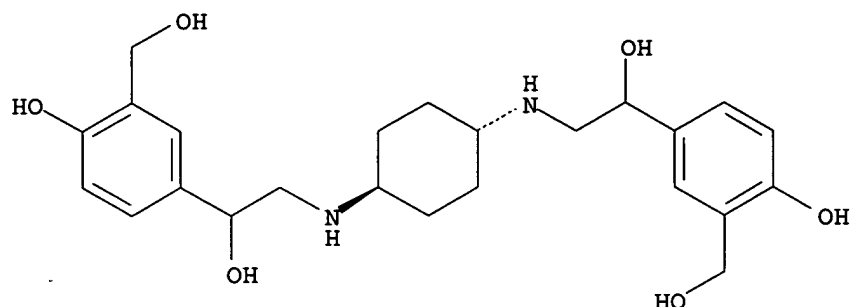
RN 321708-20-7 REGISTRY

CN 1,3-Benzenedimethanol,  $\alpha, \alpha'$ -[trans-1,4-cyclohexanediylbis(iminomethylene)]bis[4-hydroxy- (9CI) (CA INDEX NAME)]

FS STEREOSEARCH

MF C24 H34 N2 O6  
 SR CA  
 LC STN Files: CA, CAPLUS, USPAT2, USPATFULL  
 DT.CA Caplus document type: Patent  
 RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

Relative stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

3 REFERENCES IN FILE CA (1907 TO DATE)  
 3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 136:355062  
 REFERENCE 2: 135:45979  
 REFERENCE 3: 134:131310

=> d his

(FILE 'HOME' ENTERED AT 15:17:13 ON 07 FEB 2005)  
 SET COST OFF

FILE 'HCAPLUS' ENTERED AT 15:17:23 ON 07 FEB 2005

L1 8 S (US20040186080 OR US6713651)/PN OR (US2004-769219# OR US2000-  
 L2 1 S US20040186080/PN  
 E MORAN E/AU  
 L3 174 S E3,E9,E17-E19  
 E CHOI S/AU  
 L4 683 S E3,E15  
 E CHOI SEOK/AU  
 L5 58 S E3,E18  
 E CHOI SEOKKI/AU  
 E D HIS  
 SEL RN L2

FILE 'REGISTRY' ENTERED AT 15:19:59 ON 07 FEB 2005

L6 70 S E1-E70  
 L7 23 S L6 AND 3/NR AND C6/ES  
 L8 1 S L7 AND NC2NC2/ES  
 E C28H44N4O6/MF  
 L9 2 S E3 AND 46.150.18/RID AND NC2NC2/ES AND 3/NR  
 L10 1 S L9 NOT METHOXY  
 L11 22 S L7 NOT L8  
 L12 3 S L11 AND 46.150.18/RID AND 46.150.1/RID  
 E C24H34N2O6/MF

L13 5 S E3 AND 46.150.18/RID AND 46.150.1/RID AND 3/NR  
E C26H38N2O6/MF  
L14 6 S E3 AND 46.150.18/RID AND 46.150.1/RID AND 3/NR  
L15 19 S L11 NOT L12  
L16 2 S L15 AND C25H30N2O6  
L17 1 S L16 NOT 321708-41-2  
L18 5 S L12,L8,L10,L17  
SAV L18 KUMAR769/A

FILE 'HCAOLD' ENTERED AT 15:27:37 ON 07 FEB 2005  
L19 0 S L18

FILE 'HCAPLUS' ENTERED AT 15:27:40 ON 07 FEB 2005  
L20 3 S L18  
L21 3 S L20 AND L1-L5

FILE 'USPATFULL' ENTERED AT 15:27:59 ON 07 FEB 2005  
L22 1 S L18

FILE 'REGISTRY' ENTERED AT 15:28:14 ON 07 FEB 2005

=> fil hcaplus

FILE 'HCAPLUS' ENTERED AT 15:28:21 ON 07 FEB 2005  
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.  
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.  
COPYRIGHT (C) 2005 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 7 Feb 2005 VOL 142 ISS 7  
FILE LAST UPDATED: 6 Feb 2005 (20050206/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d l21 all hitstr tot

L21 ANSWER 1 OF 3 HCAPLUS COPYRIGHT 2005 ACS on STN  
AN 2002:354101 HCAPLUS  
DN 136:355062  
ED Entered STN: 12 May 2002  
TI Preparation of novel multi-binding phenolic compounds as  
β2-adrenergic receptor agonists  
IN Moran, Edmund J.; Griffin, John H.; Choi, Seok-ki  
PA Theravance, Inc., USA  
SO U.S. Pat. Appl. Publ., 92 pp., Cont. of U.S. Ser. No. 323,943.  
CODEN: USXXCO  
DT Patent  
LA English  
IC ICM C07F009-22  
ICS C07C311-15; C07C235-32; C07C215-28  
NCL 564355000  
CC 25-7 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)

Section cross-reference(s): 1, 63

FAN.CNT 31

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2002055651	A1	20020509	US 2001-934982	20010821 <--
	US 6683115	B2	20040127		
	US 6541669	B1	20030401	US 1999-323943	19990602 <--
	CA 2318894	AA	19991216	CA 1999-2318894	19990604 <--
	AU 9945435	A1	19991230	AU 1999-45435	19990604 <--
	EP 1003540	A1	20000531	EP 1999-928344	19990604 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	JP 2002517457	T2	20020618	JP 2000-553099	19990604 <--
	CA 2318055	AA	19991216	CA 1999-2318055	19990607 <--
	CA 2318286	AA	19991216	CA 1999-2318286	19990607 <--
	CA 2319068	AA	19991216	CA 1999-2319068	19990607 <--
	CA 2319159	AA	19991216	CA 1999-2319159	19990607 <--
	CA 2319175	AA	19991216	CA 1999-2319175	19990607 <--
	CA 2319496	AA	19991216	CA 1999-2319496	19990607 <--
	CA 2319751	AA	19991216	CA 1999-2319751	19990607 <--
	CA 2319756	AA	19991216	CA 1999-2319756	19990607 <--
	CA 2321170	AA	19991216	CA 1999-2321170	19990607 <--
	CA 2321273	AA	19991216	CA 1999-2321273	19990607 <--
	AU 9944234	A1	19991230	AU 1999-44234	19990607 <--
	AU 9944265	A1	19991230	AU 1999-44265	19990607 <--
	AU 9945491	A1	19991230	AU 1999-45491	19990607 <--
	AU 9945520	A1	19991230	AU 1999-45520	19990607 <--
	AU 9946727	A1	19991230	AU 1999-46727	19990607 <--
	AU 9946751	A1	19991230	AU 1999-46751	19990607 <--
	AU 9946752	A1	19991230	AU 1999-46752	19990607 <--
	AU 9946754	A1	19991230	AU 1999-46754	19990607 <--
	EP 1019360	A1	20000719	EP 1999-930123	19990607 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	EP 1080080	A1	20010307	EP 1999-930158	19990607 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	EP 1083917	A1	20010321	EP 1999-927291	19990607 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	EP 1083893	A1	20010321	EP 1999-927331	19990607 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	EP 1083888	A1	20010321	EP 1999-928425	19990607 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	EP 1085887	A2	20010328	EP 1999-928349	19990607 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	EP 1085870	A2	20010328	EP 1999-930157	19990607 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	EP 1094826	A1	20010502	EP 1999-930156	19990607 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	EP 1100519	A1	20010523	EP 1999-930155	19990607 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	EP 1107753	A1	20010620	EP 1999-928457	19990607 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	JP 2002517420	T2	20020618	JP 2000-553004	19990607 <--
	JP 2002517459	T2	20020618	JP 2000-553102	19990607 <--



JP 2002517463	T2	20020618	JP 2000-553110	19990607 <--
CA 2319497	AA	19991216	CA 1999-2319497	19990608 <--
CA 2319643	AA	19991216	CA 1999-2319643	19990608 <--
CA 2319651	AA	19991216	CA 1999-2319651	19990608 <--
CA 2320926	AA	19991216	CA 1999-2320926	19990608 <--
CA 2321120	AA	19991216	CA 1999-2321120	19990608 <--
CA 2321152	AA	19991216	CA 1999-2321152	19990608 <--
CA 2319650	AA	19991229	CA 1999-2319650	19990608 <--
AU 9943368	A1	19991230	AU 1999-43368	19990608 <--
AU 9943376	A1	19991230	AU 1999-43376	19990608 <--
AU 9946747	A1	19991230	AU 1999-46747	19990608 <--
AU 9952039	A1	19991230	AU 1999-52039	19990608 <--
AU 9946776	A1	20000110	AU 1999-46776	19990608 <--
EP 1082289	A1	20010314	EP 1999-930185	19990608 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
EP 1083921	A1	20010321	EP 1999-955430	19990608 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
EP 1085889	A2	20010328	EP 1999-928451	19990608 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
EP 1085847	A2	20010328	EP 1999-928520	19990608 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
EP 1085868	A1	20010328	EP 1999-930150	19990608 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
EP 1085894	A1	20010328	EP 1999-937155	19990608 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
EP 1102597	A1	20010530	EP 1999-955431	19990608 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002517442	T2	20020618	JP 2000-553068	19990608 <--
US 6288055	B1	20010911	US 2000-499476	20000207 <--
ZA 2000003475	A	20011011	ZA 2000-3475	20000711
ZA 2000004083	A	20011112	ZA 2000-4083	20000810
ZA 2000004085	A	20011112	ZA 2000-4085	20000810
ZA 2000004087	A	20011113	ZA 2000-4087	20000810
ZA 2000004084	A	20011119	ZA 2000-4084	20000810
ZA 2000004561	A	20011130	ZA 2000-4561	20000831
ZA 2000004565	A	20011130	ZA 2000-4565	20000831
US 2003087306	A1	20030508	US 2001-15534	20011213 <--
US 2003087307	A1	20030508	US 2002-108945	20020328
PRAI US 1998-88466P	P	19980608		
US 1998-92938P	P	19980715	<--	
US 1999-323943	A1	19990602		
WO 1999-US11786	W	19990604		
US 1999-327044	B1	19990607		
WO 1999-US11803	W	19990607		
WO 1999-US11805	W	19990607		
WO 1999-US12669	W	19990607		
WO 1999-US12673	W	19990607		
WO 1999-US12727	W	19990607		
WO 1999-US12728	W	19990607		
WO 1999-US12730	W	19990607		
WO 1999-US12731	W	19990607		
WO 1999-US12778	W	19990607		
WO 1999-US12782	W	19990607		
US 1999-327904	B1	19990608		
WO 1999-US12626	W	19990608		
WO 1999-US12770	W	19990608		

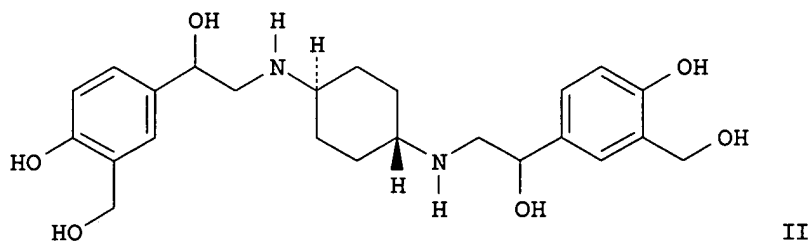
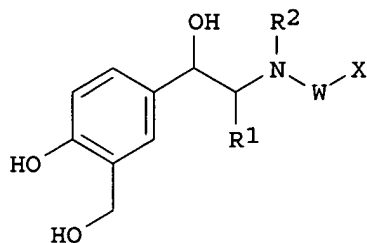
WO 1999-US12876	W	19990608
WO 1999-US12907	W	19990608
WO 1999-US12989	W	19990608
WO 1999-US12994	W	19990608
WO 1999-US12995	W	19990608
US 1999-457618	B1	19991208
US 2000-493462	B1	20000128
US 2000-637899	A1	20000814

## CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES	
US 2002055651	ICM	C07F009-22	
	ICS	C07C311-15; C07C235-32; C07C215-28	
	NCL	564355000	
US 2002055651	ECLA	C07C215/60	<--
US 2003087306	ECLA	C07B061/00L; C07D263/32; C07D263/34D; C07D265/32; C07D277/24; C07D277/28; C07D277/34; C07D; C07D401/14R+257+211; C07D401/14R+249B+211; C07D413/06+265D+249B; C07D413/14R+265D+29B; C07D417/12+277B+263B; C07D417/12+277B+213; C07K001/04C; G01N033/94B; C07C215/60; C07C323/62; C07D211/42; C07D211/56; C07D213/74D6; C07D213/80B3	<--
US 2003087307	ECLA	A61K031/137; C07C215/68; C07C233/43; A61K031/167; C07C215/60	

OS MARPAT 136:355062

GI



AB Methods for preparing novel multibinding phenolic compds., LpXq [where L = a ligand capable of binding to a  $\beta$ 2-adrenergic receptor; X = a linker; p = 2-10; q = 1-20], which serve as  $\beta$ 2-adrenergic receptor agonists, are disclosed. Preferred ligands are of formula I [R1 = H, (un)substituted alkyl, or a bond linking ligand to linker; R2 = H, aralkyl, acyl, (un)substituted alkyl, cycloalkyl or a bond linking ligand to linker; W = bond, (un)substituted alkylene wherein one or more carbon atoms is optionally replaced by NR3, O, S, SO, SO2, CO, P-alkyl, PO2, OP(O)O or the alkylene optionally links the ligand to a linker with provisions; R3 = H, alkyl, acyl, or bond linking ligand to linker; X = aryl, heteroaryl, heterocyclyl and (un)substituted cycloalkyl wherein each

X optionally links the ligand to the linker]. II was prepared from  $\alpha,\alpha$ -dihydroxy-4-hydroxy-3-methoxycarbonylacetophenone via condensation with trans-1,4-diaminocyclohexane with subsequent reduction of intermediate imine. In addition, combinatorial arrays of multimeric ligands and methods of assaying the multimeric ligands are embodied by the invention. As  $\beta$ 2-adrenergic receptor agonists, the compds. are useful in the treatment and prevention of respiratory diseases such as asthma, bronchitis (no data). The title compds. are also useful in the treatment of nervous system injuries and premature labor. Formulations for capsules, tablets, dry power inhaler, suppositories and suspensions are described.

- ST phenol multibinding prepn beta adrenergic receptor agonist; combinatorial array multibinding phenol beta adrenergic receptor agonist; multimeric ligand beta adrenergic receptor agonist; adrenergic receptor agonist respiratory disease prevention asthma bronchitis
- IT Structure-activity relationship  
(ligand-binding; preparation of phenolic  $\beta$ 2-adrenergic receptor agonists)
- IT Antiasthmatics  
Combinatorial library  
Drug delivery systems  
(preparation of phenolic  $\beta$ 2-adrenergic receptor agonists)
- IT Respiratory tract, disease  
(treatment of; preparation of phenolic  $\beta$ 2-adrenergic receptor agonists)
- IT Adrenoceptor agonists  
( $\beta$ 2-; preparation of phenolic  $\beta$ 2-adrenergic receptor agonists)
- IT Adrenoceptors  
RL: BSU (Biological study, unclassified); BIOL (Biological study)  
( $\beta$ 2; preparation of phenolic  $\beta$ 2-adrenergic receptor agonists)
- IT 321708-20-7P, 1,3-Benzenedimethanol,  $\alpha$ 1, $\alpha$ 1'-[trans-1,4-cyclohexanediylbis(iminomethylene)]bis[4-hydroxy- 321708-23-0P, 1,3-Benzenedimethanol,  $\alpha$ 1, $\alpha$ 1'-[methylenebis(4,1-cyclohexanediyliminomethylene)]bis[4-hydroxy- 321708-25-2P, 1,3-Benzenedimethanol,  $\alpha$ 1, $\alpha$ 1'-[1,3-cyclohexanediylbis(methyleneiminomethylene)]bis[4-hydroxy- 321708-27-4P, 1,3-Benzenedimethanol, 4-hydroxy- $\alpha$ 1-[[[1-[4-[[2-hydroxy-2-[4-hydroxy-3-(hydroxymethyl)phenyl]ethyl]amino]-4-methylcyclohexyl]-1-methylethyl]amino]methyl]- 321708-29-6P, 1,3-Benzenedimethanol,  $\alpha$ 1, $\alpha$ 1'-[1,4-piperazinediylbis(3,1-propanediyliminomethylene)]bis[4-hydroxy- 321708-31-0P, 1,3-Benzenedimethanol,  $\alpha$ 1, $\alpha$ 1'-[1,4-phenylenebis(methyleneiminomethylene)]bis[4-hydroxy- 321708-33-2P, 1,3-Benzenedimethanol,  $\alpha$ 1, $\alpha$ 1'-[1,3-phenylenebis(methyleneiminomethylene)]bis[4-hydroxy- 321708-35-4P, 1,3-Benzenedimethanol, 4-hydroxy- $\alpha$ 1-[[[2-[[[2-hydroxy-2-[4-hydroxy-3-(hydroxymethyl)phenyl]ethyl]amino]methyl]phenyl]amino]methyl]- 321708-37-6P, 1,3-Benzenedimethanol, 4-hydroxy- $\alpha$ 1-[[[4-[2-[[2-hydroxy-2-[4-hydroxy-3-(hydroxymethyl)phenyl]ethyl]amino]ethyl]phenyl]amino]methyl]- 321708-39-8P, 1,3-Benzenedimethanol,  $\alpha$ 1, $\alpha$ 1'-[oxybis(4,1-phenyleneiminomethylene)]bis[4-hydroxy- 321708-41-2P, 1,3-Benzenedimethanol, 4-hydroxy- $\alpha$ 1-[[[4-[[[2-hydroxy-2-[4-hydroxy-3-(hydroxymethyl)phenyl]ethyl]amino]methyl]phenyl]amino]methyl]- 321708-43-4P, 1,3-Benzenedimethanol, 4-hydroxy- $\alpha$ -[[[2-[4-[[2-hydroxy-2-phenylethyl]amino]phenyl]ethyl]amino]methyl]- 321708-45-6P, Benzenemethanol,  $\alpha$ -[[[4-[2-[[2-hydroxy-2-phenylethyl]amino]ethyl]phenyl]amino]methyl]- 321708-47-8P, 1,3-Benzenedimethanol, 4-hydroxy- $\alpha$ -[[[2-[4-[[2-hydroxy-2-phenylethyl]amino]phenyl]ethyl]amino]methyl]-, mono(trifluoroacetate) (salt) 321708-49-0P, 1,3-Benzenedimethanol, 4-hydroxy- $\alpha$ -[[[2-[4-[[[2S]-2-hydroxy-2-phenylethyl]amino]phenyl]ethyl]amino]methyl]- 321708-51-4P, 1,3-Benzenedimethanol, 4-hydroxy- $\alpha$ -[[[2-[4-[[[2R]-2-hydroxy-2-phenylethyl]amino]phenyl]ethyl]amino]methyl]- 321708-53-6P, 1,3-Benzenedimethanol,  $\alpha$ 1, $\alpha$ 1'-[1,6-hexanediylbis(oxy-4,1-

phenylene-3,1-propanediylloxy-6,1-hexanediyliminomethylene)]bis[4-hydroxy-321708-54-7P, 1,3-Benzenedimethanol, 4-hydroxy- $\alpha$ -[[[2-[4-[[[2S)-2-hydroxy-2-phenylethyl]amino]phenyl]ethyl]amino]methyl]-, ( $\alpha$ R)-321708-56-9P, 1,3-Benzenedimethanol, 4-hydroxy- $\alpha$ 1-[[[6-[3-[4-[[6-[2-hydroxy-2-[4-hydroxy-3-(hydroxymethyl)phenyl]ethyl]amino]hexyl]oxy]phenyl]propoxy]hexyl]amino]methyl]- 321708-57-0P, 1,3-Benzenedimethanol,  $\alpha$ 1, $\alpha$ 1'-[1,3-phenylenebis[oxy(2-hydroxy-3,1-propanediyl)iminomethylene]]bis[4-hydroxy- 321708-60-5P, 1,3-Benzenedimethanol, 4-hydroxy- $\alpha$ -[[[2-[4-[[2-hydroxy-3-(1-naphthalenyloxy)propyl]amino]phenyl]ethyl]amino]methyl]- 321709-02-8P, 1,3-Benzenedimethanol,  $\alpha$ 1, $\alpha$ 1'-[[[4-[[[2-hydroxy-2-[4-hydroxy-3-(hydroxymethyl)phenyl]ethyl]amino]methyl]-1,8-octanediyl]bis(iminomethylene)]bis[4-hydroxy-RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of phenolic  $\beta$ 2-adrenergic receptor agonists)

IT 80-52-4, 1,8-Diamino-p-menthane 100-39-0, Benzylbromide 100-52-7, Benzaldehyde, reactions 101-80-4, 4,4'-Oxydianiline 101-90-6, Resorcinol diglycidyl ether 539-48-0, 1,4-Benzenedimethanamine 629-09-4, 1,6-Diiodohexane 1075-06-5,  $\alpha$ , $\alpha$ -Dihydroxyacetophenone 1477-55-0, 1,3-Benzenedimethanamine 1572-55-0, 1,8-Octanediamine, 4-(aminomethyl)- 1761-71-3, 4,4'-Methylenebis(cyclohexylamine) 2461-42-9, Oxirane, [(1-naphthalenyloxy)methyl]- 2579-20-6, 1,3-Cyclohexanebis(methylamine) 2615-25-0, trans-1,4-Diaminocyclohexane 4403-69-4, 2-Aminobenzylamine 4403-71-8, 4-Aminobenzylamine 6621-59-6, 6-Bromohexanenitrile 7209-38-3, 1,4-Bis(3-aminopropyl)piperazine 10210-17-0, 3-(4-Hydroxyphenyl)-1-propanol 13472-00-9, 2-(4-Aminophenyl)ethylamine 16475-90-4, Benzoic acid, 5-acetyl-2-hydroxy-, methyl ester 20780-53-4, Oxirane, phenyl-, (2R)- 94749-70-9, Benzoic acid, 5-(2R)-oxirany-2-(phenylmethoxy)-, methyl ester 321709-19-7, Benzenemethanol,  $\alpha$ -[[[4-(2-aminoethyl)phenyl]amino]methyl]-, ( $\alpha$ R)-RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of phenolic  $\beta$ 2-adrenergic receptor agonists)

IT 27475-09-8P, Benzoic acid, 5-acetyl-2-(phenylmethoxy)-, methyl ester 27475-14-5P, Benzoic acid, 5-(bromoacetyl)-2-(phenylmethoxy)-, methyl ester 29754-58-3P, Benzoic acid, 5-(dihydroxyacetyl)-2-hydroxy-, methyl ester 92900-77-1P, 1,3-Benzenedimethanol,  $\alpha$ 1-(aminomethyl)-4-(phenylmethoxy)- 94838-59-2P, Carbamic acid, [2-(4-aminophenyl)ethyl]-, 1,1-dimethylethyl ester 321708-64-9P, Carbamic acid, [2-[4-[[2-hydroxy-2-phenylethyl]amino]phenyl]ethyl]-, 1,1-dimethylethyl ester 321708-67-2P, Benzenemethanol,  $\alpha$ -[[[4-(2-aminoethyl)phenyl]amino]methyl]-, mono(trifluoroacetate) (salt) 321708-69-4P, Carbamic acid, [2-[4-[[[2S)-2-hydroxy-2-phenylethyl]amino]phenyl]ethyl]-, 1,1-dimethylethyl ester 321708-72-9P, Benzenemethanol,  $\alpha$ -[[[4-(2-aminoethyl)phenyl]amino]methyl]-, ( $\alpha$ S)-, mono(trifluoroacetate) (salt) 321708-74-1P, Benzenepropanol, 4,4'-[1,6-hexanediylbis(oxy)]bis- 321708-76-3P, Hexanenitrile, 6,6'-[1,6-hexanediylbis(oxy-4,1-phenylene-3,1-propanediylloxy)]bis- 321708-78-5P, Hexanoic acid, 6,6'-[1,6-hexanediylbis(oxy-4,1-phenylene-3,1-propanediylloxy)]bis- 321708-80-9P, 1,3-Benzenedimethanol,  $\alpha$ 1, $\alpha$ 1'-[1,6-hexanediylbis(oxy-4,1-phenylene-3,1-propanediylloxy-6-hexanyl-1-ylidenenitrilomethylene)]bis[4-(phenylmethoxy)- 321708-82-1P, Carbamic acid, [2-[4-[[[phenylmethyl]amino]phenyl]ethyl]-, 1,1-dimethylethyl ester 321708-84-3P, Carbamic acid, [2-[4-[[[2S)-2-hydroxy-2-phenylethyl]amino]phenyl]ethyl]-, 1,1-dimethylethyl ester 321708-86-5P, Benzenemethanol,  $\alpha$ -[[[4-(2-aminoethyl)phenyl]amino]methyl]-, ( $\alpha$ S)- 321708-88-7P, Benzenemethanol,  $\alpha$ -[[[phenylmethyl]amino]methyl]-, ( $\alpha$ S)- 321708-89-8P, Benzoic acid, 5-[(1R)-1-hydroxy-2-[[2-[4-[[[2S)-2-hydroxy-2-

phenylethyl] (phenylmethyl) amino] phenyl] ethyl] (phenylmethyl) amino] ethyl] -2-(phenylmethoxy)-, methyl ester 321708-90-1P, 1,3-Benzenedimethanol,  $\alpha$ -[[[2-[4-[[[2S)-2-hydroxy-2-phenylethyl] (phenylmethyl) amino] phenyl] ethyl] (phenylmethyl) amino] methyl]-4-(phenylmethoxy)-, ( $\alpha$ R)-321708-92-3P, Hexanenitrile, 6-[3-[4-[(5-cyanopentyl) oxy] phenyl] propoxy]-321708-94-5P, 1-Hexanamine, 6-[4-[3-[(6-aminoethyl) oxy] propyl] phenoxy]-321708-98-9P, Benzoic acid, 5-(azidoacetyl)-2-(phenylmethoxy)-, methyl ester 321709-00-6P, Carbamic acid, [2-[4-[[2-hydroxy-3-(1-naphthalenyloxy) propyl] amino] phenyl] ethyl]-, 1,1-dimethylethyl ester 321710-07-0P, 1,3-Benzenedimethanol,  $\alpha$ 1, $\alpha$ 1'-[1,6-hexanediylbis(oxy-4,1-phenylene-3,1-propanediyl oxy-6,1-hexanediyliminomethylene)]bis[4-(phenylmethoxy)-RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of phenolic  $\beta$ 2-adrenergic receptor agonists)

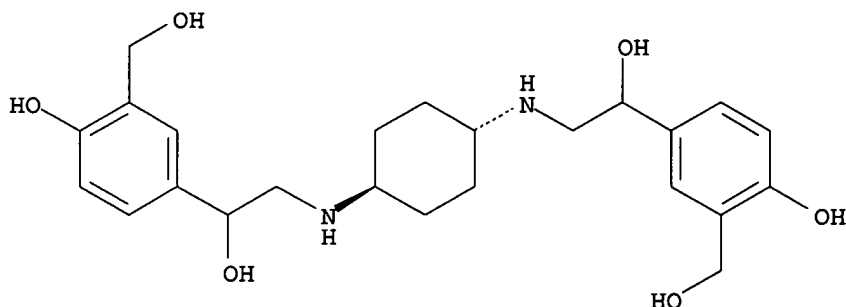
IT 321708-20-7P, 1,3-Benzenedimethanol,  $\alpha$ 1, $\alpha$ 1'-[trans-1,4-cyclohexanediylbis(iminomethylene)]bis[4-hydroxy- 321708-25-2P, 1,3-Benzenedimethanol,  $\alpha$ 1, $\alpha$ 1'-[1,3-cyclohexanediylbis(methyleneiminomethylene)]bis[4-hydroxy-321708-27-4P, 1,3-Benzenedimethanol, 4-hydroxy- $\alpha$ 1-[[[1-[4-[[2-hydroxy-2-[4-hydroxy-3-(hydroxymethyl) phenyl] ethyl] amino]-4-methylcyclohexyl]-1-methylethyl] amino] methyl]- 321708-29-6P, 1,3-Benzenedimethanol,  $\alpha$ 1, $\alpha$ 1'-[1,4-piperazinediylbis(3,1-propanediyliminomethylene)]bis[4-hydroxy- 321708-35-4P, 1,3-Benzenedimethanol, 4-hydroxy- $\alpha$ 1-[[[2-[[[2-hydroxy-2-[4-hydroxy-3-(hydroxymethyl) phenyl] ethyl] amino] methyl] phenyl] amino] methyl]-RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of phenolic  $\beta$ 2-adrenergic receptor agonists)

RN 321708-20-7 HCAPLUS

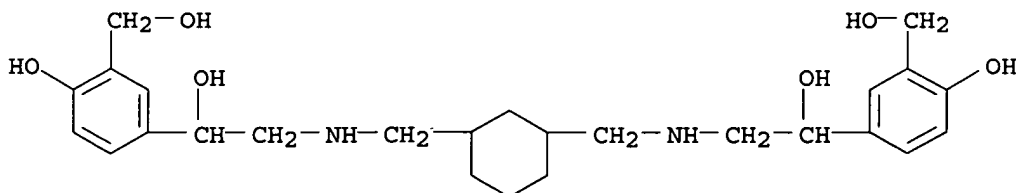
CN 1,3-Benzenedimethanol,  $\alpha$ 1, $\alpha$ 1'-[trans-1,4-cyclohexanediylbis(iminomethylene)]bis[4-hydroxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.

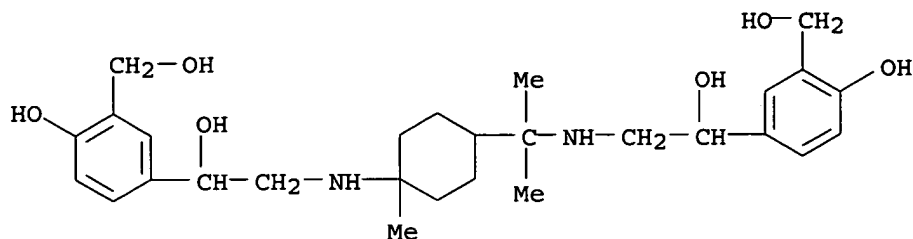


RN 321708-25-2 HCAPLUS

CN 1,3-Benzenedimethanol,  $\alpha$ 1, $\alpha$ 1'-[1,3-cyclohexanediylbis(methyleneiminomethylene)]bis[4-hydroxy- (9CI) (CA INDEX NAME)



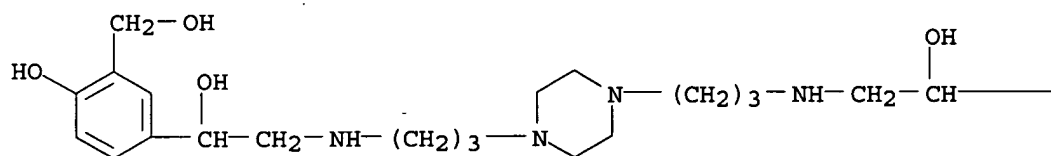
RN 321708-27-4 HCAPLUS

CN 1,3-Benzenedimethanol, 4-hydroxy- $\alpha$ 1-[[[1-[4-[[2-hydroxy-2-[4-hydroxy-3-(hydroxymethyl)phenyl]ethyl]amino]-4-methylcyclohexyl]-1-methylethyl]amino]methyl]- (9CI) (CA INDEX NAME)

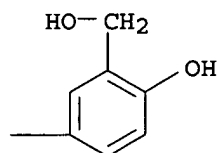
RN 321708-29-6 HCAPLUS

CN 1,3-Benzenedimethanol,  $\alpha$ 1, $\alpha$ 1'-[1,4-piperazinediylbis(3,1-propanediyliminomethylene)]bis[4-hydroxy- (9CI) (CA INDEX NAME)

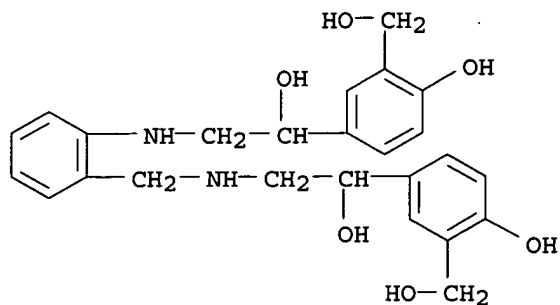
PAGE 1-A



PAGE 1-B



RN 321708-35-4 HCAPLUS

CN 1,3-Benzenedimethanol, 4-hydroxy- $\alpha$ 1-[[[2-[[[2-hydroxy-2-[4-hydroxy-3-(hydroxymethyl)phenyl]ethyl]amino]methyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

L21 ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2005 ACS on STN  
 AN 2001:435027 HCAPLUS  
 DN 135:45979  
 ED Entered STN: 15 Jun 2001  
 TI Preparation of 4-(arylhydroxyethylaminoethyl)phenylaminohydroxyethylbenzenes and related compounds as  $\beta$ 2 adrenergic receptor agonists and partial agonists.  
 IN Moran, Edmund J.; Griffin, John H.; Choi, Seok-ki  
 PA Advanced Medicine, Inc., USA  
 SO PCT Int. Appl., 164 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 IC ICM C07C233-43  
 ICS C07C215-68; A61K031-135; A61K031-165; A61P011-00; A61P025-00  
 CC 25-7 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)  
 Section cross-reference(s): 1, 27  
 FAN.CNT 31

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001042193	A1	20010614	WO 2000-US33057	20001206
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	US 6576793	B1	20030610	US 2000-637899	20000814
	ZA 2000005850	A	20020517	ZA 2000-5850	20001019
	CA 2391293	AA	20010614	CA 2000-2391293	20001206
	BR 2000015962	A	20020730	BR 2000-15962	20001206
	EP 1235787	A1	20020904	EP 2000-986271	20001206
	EP 1235787	B1	20031029		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
	JP 2003516381	T2	20030513	JP 2001-543495	20001206
	AT 253039	E	20031115	AT 2000-986271	20001206
	PT 1235787	T	20040331	PT 2000-986271	20001206
	ES 2208453	T3	20040616	ES 2000-986271	20001206
	US 2003087307	A1	20030508	US 2002-108945	20020328
	ZA 2002003450	A	20030513	ZA 2002-3450	20020430
	NO 2002002655	A	20020605	NO 2002-2655	20020605
	HK 1048803	A1	20040130	HK 2003-101047	20030213
PRAI	US 1999-457618	A	19991208		
	US 2000-637899	A1	20000814		
	US 1999-323943	A2	19990602		
	WO 2000-US33057	W	20001206		

## CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 2001042193	ICM	C07C233-43
	ICS	C07C215-68; A61K031-135; A61K031-165; A61P011-00; A61P025-00
US 2003087307	ECLA	A61K031/137; C07C215/68; C07C233/43; A61K031/167; C07C215/60

AB LpXq [p= 2-10; q = 1-20; X = linker, L = ligand; 1 ligand = Ar1CH(OH)CHR1NR2WAR2, the other = QAr3; Ar1, Ar2 = aryl, heteroaryl, heterocyclyl, (substituted) cycloalkyl; R1 = H, (substituted) alkyl, bond to linker; R2 = H, aralkyl, acyl, (substituted) alkyl, cycloalkyl, bond to linker; W = bond, (substituted) (heteroatom-interrupted) alkylene; Ar3 =

aryl, heteroaryl, (substituted) cycloalkyl, heterocyclyl; Q = bond, (substituted) (heteroatom-interrupted) alkylene; with provisos], were prepared for treatment of respiratory diseases (no data). Thus,  $\alpha,\alpha$ -hydroxy-4-hydroxy-3-methoxycarbonylacetophenone (preparation given) was stirred with trans-1,4-diaminocyclohexane in THF for 3 h at room temperature followed by addition of BH<sub>3</sub>/Me<sub>2</sub>S in hexane and stirring for 4

h to

give trans-1,4-bis[N-[2-(4-hydroxy-3-hydroxymethylphenyl)-2-hydroxyethyl]amino]cyclohexane.

ST arylhydroxyethylaminoethylphenylaminohydroxyethylbenzene prepn adrenergic agonist; chronic obstructive pulmonary disease treatment  
arylhydroxyethylaminoethylphenylaminohydroxyethylbenzene prepn;  
antiasthmatic arylhydroxyethylaminoethylphenylaminohydroxyethylbenzene prepn

IT Lung, disease  
(chronic obstructive, treatment; preparation of  
arylhydroxyethylaminoethylphenylaminohydroxyethylbenzenes and related  
compds. as  $\beta$ 2 adrenergic receptor agonists and partial agonists)

IT Antiasthmatics  
(preparation of arylhydroxyethylaminoethylphenylaminohydroxyethylbenzenes  
and related compds. as  $\beta$ 2 adrenergic receptor agonists and partial  
agonists)

IT Adrenoceptor agonists  
( $\beta$ 2-; preparation of arylhydroxyethylaminoethylphenylaminohydroxyethylbenzenes and related compds. as  $\beta$ 2 adrenergic receptor agonists and  
partial agonists)

IT 321708-20-7P 321708-23-0P 321708-25-2P  
321708-27-4P 321708-29-6P 321708-31-0P 321708-33-2P  
321708-35-4P 321708-37-6P 321708-39-8P 321708-41-2P  
321708-43-4P 321708-45-6P 321708-47-8P 321708-49-0P 321708-51-4P  
321708-53-6P 321708-56-9P 321708-57-0P 321708-60-5P 321709-02-8P  
344466-40-6P 344466-41-7P 344466-42-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of arylhydroxyethylaminoethylphenylaminohydroxyethylbenzenes  
and related compds. as  $\beta$ 2 adrenergic receptor agonists and partial  
agonists)

IT 70-11-1,  $\alpha$ -Bromoacetophenone 80-52-4 100-52-7, Benzaldehyde,  
reactions 101-80-4 101-90-6, Resorcinol diglycidyl ether 107-22-2,  
Glyoxal 539-48-0, p-Xylylenediamine 629-09-4, 1,6-Diiodohexane  
1074-12-0, Phenylglyoxal 1075-06-5,  $\alpha,\alpha$ -  
Dihydroxyacetophenone 1477-55-0, 1,3-Benzenedimethanamine 1572-55-0,  
4-Aminomethyl-1,8-octanediamine 1761-71-3 2461-42-9 2579-20-6,  
1,3-Cyclohexanedimethanamine 2615-25-0, trans-1,4-Diaminocyclohexane  
4403-69-4, 2-Aminobenzylamine 4403-71-8, 4-Aminobenzylamine 6621-59-6,  
6-Bromohexanenitrile 7209-38-3, 1,4-Piperazinedipropylamine  
10210-17-0, 3-(4-Hydroxyphenyl)-1-propanol 13472-00-9,  
2-(4-Aminophenyl)ethylamine 16475-90-4, Methyl 5-acetylsalicylate  
20780-53-4 37148-47-3 43229-01-2 94749-70-9

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of arylhydroxyethylaminoethylphenylaminohydroxyethylbenzenes  
and related compds. as  $\beta$ 2 adrenergic receptor agonists and partial  
agonists)

IT 27475-09-8P 27475-14-5P 29754-58-3P 92900-77-1P 94838-59-2P  
321708-64-9P 321708-67-2P 321708-69-4P 321708-72-9P 321708-74-1P  
321708-76-3P 321708-78-5P 321708-82-1P 321708-84-3P 321708-86-5P  
321708-89-8P 321708-90-1P 321708-92-3P 321708-94-5P 321708-98-9P  
321709-00-6P 344466-43-9P 344466-44-0P 344466-45-1P 344466-46-2P  
344466-47-3P 344466-48-4P 344466-49-5P 344466-50-8P 344466-51-9P  
344466-52-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)



(preparation of arylhydroxyethylaminoethylphenylaminohydroxyethylbenzenes and related compds. as  $\beta_2$  adrenergic receptor agonists and partial agonists)

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

- (1) Advanced Medicine Inc; WO 9964035 A 1999 HCAPLUS
- (2) Anon; PATENT ABSTRACTS OF JAPAN 1998, V1998(11)
- (3) Degussa; GB 1040724 A 1966
- (4) Kissei Pharmaceut Co Ltd; JP 10152460 A 1998 HCAPLUS
- (5) Sepracor Inc; WO 9821175 A 1998 HCAPLUS
- (6) Thomae GmbH Dr K; GB 1394542 A 1975 HCAPLUS

IT 321708-20-7P 321708-25-2P 321708-27-4P

321708-29-6P 321708-35-4P

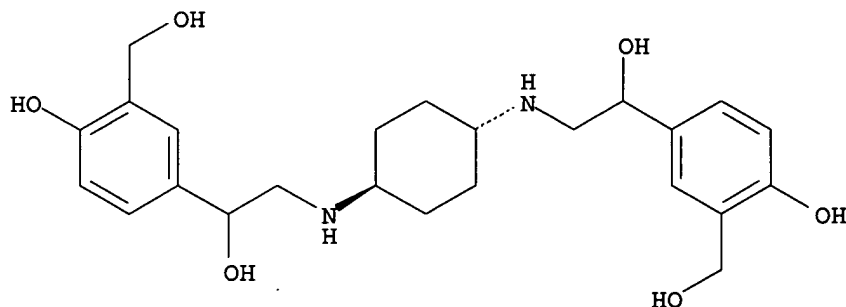
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of arylhydroxyethylaminoethylphenylaminohydroxyethylbenzenes and related compds. as  $\beta_2$  adrenergic receptor agonists and partial agonists)

RN 321708-20-7 HCAPLUS

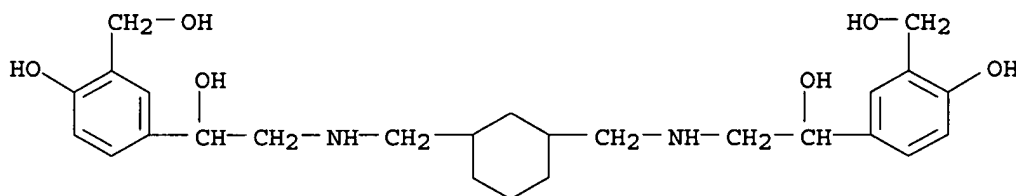
CN 1,3-Benzenedimethanol,  $\alpha_1, \alpha_1'$ -[trans-1,4-cyclohexanediylbis(iminomethylene)]bis[4-hydroxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.



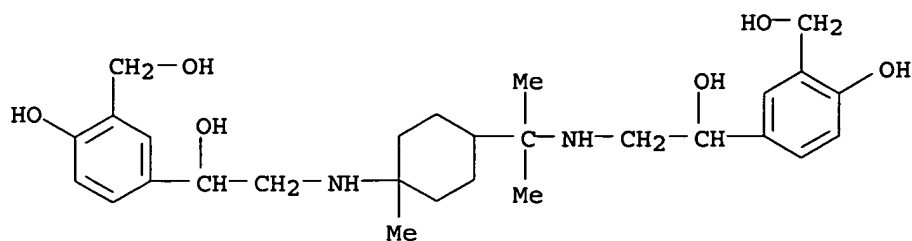
RN 321708-25-2 HCAPLUS

CN 1,3-Benzenedimethanol,  $\alpha_1, \alpha_1'$ -[1,3-cyclohexanediylbis(methyleneiminomethylene)]bis[4-hydroxy- (9CI) (CA INDEX NAME)



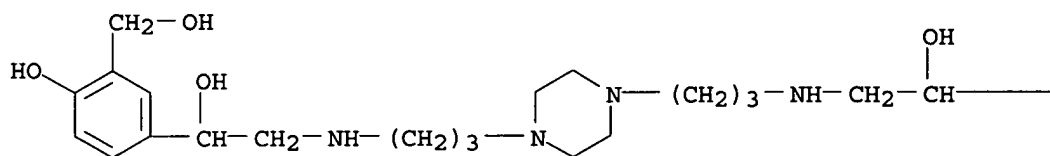
RN 321708-27-4 HCAPLUS

CN 1,3-Benzenedimethanol, 4-hydroxy- $\alpha_1$ -[[[1-[4-[[2-hydroxy-2-[4-hydroxy-3-(hydroxymethyl)phenyl]ethyl]amino]-4-methylcyclohexyl]-1-methylethyl]amino]methyl]- (9CI) (CA INDEX NAME)

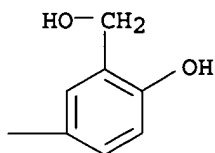


RN 321708-29-6 HCAPLUS  
 CN 1,3-Benzenedimethanol,  $\alpha 1, \alpha 1'$ -[1,4-piperazinediylbis(3,1-propanediyliminomethylene)]bis[4-hydroxy- (9CI) (CA INDEX NAME)]

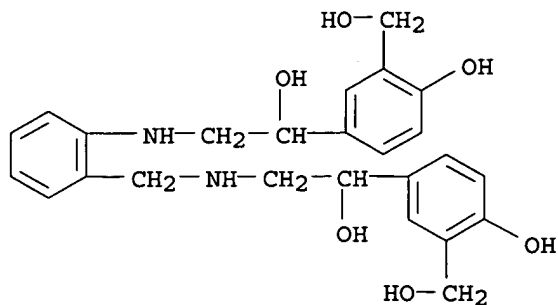
PAGE 1-A



PAGE 1-B



RN 321708-35-4 HCAPLUS  
 CN 1,3-Benzenedimethanol, 4-hydroxy- $\alpha 1$ -[[[2-[[[2-hydroxy-2-[4-hydroxy-3-(hydroxymethyl)phenyl]ethyl]amino]methyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)]



L21 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2005 ACS on STN  
 AN 2001:102476 HCAPLUS  
 DN 134:131310  
 ED Entered STN: 12 Feb 2001  
 TI Preparation of novel multibinding phenolic compounds as  $\beta 2$ -adrenergic receptor agonists

IN Griffin, John H.; Moran, Edmund J.; Choi, Seok-Ki  
 PA Advanced Medicine, Inc., USA  
 SO PCT Int. Appl., 159 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 IC A61K038-00; A61K039-00; A61K039-44; A61K039-395; A61K051-00; G01N033-53;  
 G01N033-543; G01N033-566; C07C213-00  
 CC 25-7 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)  
 Section cross-reference(s): 1, 63

FAN.CNT 31

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9964035	A1	19991216	WO 1999-US11804	19990607 <--
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	US 6362371	B1	20020326	US 1999-323937	19990602 <--
	CA 2318894	AA	19991216	CA 1999-2318894	19990604 <--
	WO 9964031	A1	19991216	WO 1999-US11786	19990604 <--
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	AU 9945435	A1	19991230	AU 1999-45435	19990604 <--
	EP 1003540	A1	20000531	EP 1999-928344	19990604 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	JP 2002517457	T2	20020618	JP 2000-553099	19990604 <--
	CA 2318055	AA	19991216	CA 1999-2318055	19990607 <--
	CA 2318192	AA	19991216	CA 1999-2318192	19990607 <--
	CA 2318286	AA	19991216	CA 1999-2318286	19990607 <--
	CA 2319068	AA	19991216	CA 1999-2319068	19990607 <--
	CA 2319159	AA	19991216	CA 1999-2319159	19990607 <--
	CA 2319175	AA	19991216	CA 1999-2319175	19990607 <--
	CA 2319496	AA	19991216	CA 1999-2319496	19990607 <--
	CA 2319751	AA	19991216	CA 1999-2319751	19990607 <--
	CA 2319756	AA	19991216	CA 1999-2319756	19990607 <--
	CA 2321170	AA	19991216	CA 1999-2321170	19990607 <--
	CA 2321273	AA	19991216	CA 1999-2321273	19990607 <--
	WO 9964034	A1	19991216	WO 1999-US11803	19990607 <--
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	WO 9963930	A2	19991216	WO 1999-US11805	19990607 <--
	WO 9963930	A3	20000127		

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,  
DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP,  
KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN,  
MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM,  
TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU,  
TJ, TM

RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,  
ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,  
CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

WO 9963983 A1 19991216 WO 1999-US12669 19990607 <--

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,  
DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP,  
KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN,  
MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM,  
TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU,  
TJ, TM

RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,  
ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,  
CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

WO 9964038 A1 19991216 WO 1999-US12673 19990607 <--

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ,  
DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS,  
JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK,  
MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ,  
TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ,  
MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,  
ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,  
CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

WO 9964041 A1 19991216 WO 1999-US12727 19990607 <--

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ,  
DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS,  
JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK,  
MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ,  
TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ,  
MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,  
ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,  
CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

WO 9964042 A1 19991216 WO 1999-US12728 19990607 <--

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ,  
DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS,  
JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK,  
MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ,  
TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ,  
MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,  
ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,  
CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

WO 9963933 A2 19991216 WO 1999-US12730 19990607 <--

WO 9963933 A3 20000203

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ,  
DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS,  
JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK,  
MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ,  
TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ,  
MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,  
ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,  
CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

WO 9964000 A1 19991216 WO 1999-US12731 19990607 <--

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ,  
DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS,

JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK,  
 MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ,  
 TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ,  
 MD, RU, TJ, TM  
 RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,  
 ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,  
 CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

WO 9963993 A1 19991216 WO 1999-US12778 19990607 <--  
 W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ,  
 DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS,  
 JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK,  
 MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ,  
 TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ,  
 MD, RU, TJ, TM  
 RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,  
 ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,  
 CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

WO 9963996 A1 19991216 WO 1999-US12782 19990607 <--  
 W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,  
 DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP,  
 KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN,  
 MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM,  
 TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU,  
 TJ, TM  
 RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,  
 ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,  
 CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

AU 9944234 A1 19991230 AU 1999-44234 19990607 <--  
 AU 9944265 A1 19991230 AU 1999-44265 19990607 <--  
 AU 9945491 A1 19991230 AU 1999-45491 19990607 <--  
 AU 9945520 A1 19991230 AU 1999-45520 19990607 <--  
 AU 9946727 A1 19991230 AU 1999-46727 19990607 <--  
 AU 9946751 A1 19991230 AU 1999-46751 19990607 <--  
 AU 9946752 A1 19991230 AU 1999-46752 19990607 <--  
 AU 9946754 A1 19991230 AU 1999-46754 19990607 <--  
 AU 9948181 A1 19991230 AU 1999-48181 19990607 <--  
 AU 750366 B2 20020718  
 EP 1019360 A1 20000719 EP 1999-930123 19990607 <--  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, FI

EP 1019075 A1 20000719 EP 1999-931748 19990607 <--  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, FI

EP 1080080 A1 20010307 EP 1999-930158 19990607 <--  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, FI

EP 1083917 A1 20010321 EP 1999-927291 19990607 <--  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, FI

EP 1083893 A1 20010321 EP 1999-927331 19990607 <--  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, FI

EP 1083888 A1 20010321 EP 1999-928425 19990607 <--  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, FI

EP 1085887 A2 20010328 EP 1999-928349 19990607 <--  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, FI

EP 1085870 A2 20010328 EP 1999-930157 19990607 <--  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, FI

EP 1094826 A1 20010502 EP 1999-930156 19990607 <--  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,

IE, FI  
 EP 1100519 A1 20010523 EP 1999-930155 19990607 <--  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, FI  
 EP 1107753 A1 20010620 EP 1999-928457 19990607 <--  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, FI  
 TR 200003650 T2 20010821 TR 2000-200003650 19990607 <--  
 BR 9910832 A 20011016 BR 1999-10832 19990607 <--  
 JP 2002517420 T2 20020618 JP 2000-553004 19990607 <--  
 JP 2002517459 T2 20020618 JP 2000-553102 19990607 <--  
 JP 2002517460 T2 20020618 JP 2000-553103 19990607 <--  
 JP 2002517463 T2 20020618 JP 2000-553110 19990607 <--  
 SG 93205 A1 20021217 SG 1999-2843 19990607 <--  
 CA 2319497 AA 19991216 CA 1999-2319497 19990608 <--  
 CA 2319643 AA 19991216 CA 1999-2319643 19990608 <--  
 CA 2319651 AA 19991216 CA 1999-2319651 19990608 <--  
 CA 2320926 AA 19991216 CA 1999-2320926 19990608 <--  
 CA 2321120 AA 19991216 CA 1999-2321120 19990608 <--  
 CA 2321152 AA 19991216 CA 1999-2321152 19990608 <--  
 WO 9963999 A1 19991216 WO 1999-US12626 19990608 <--  
 W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,  
 DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP,  
 KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN,  
 MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM,  
 TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU,  
 TJ, TM  
 RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,  
 ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,  
 CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG  
 WO 9963936 A2 19991216 WO 1999-US12770 19990608 <--  
 WO 9963936 A3 20000203  
 W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,  
 DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP,  
 KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN,  
 MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM,  
 TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU,  
 TJ, TM  
 RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,  
 ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,  
 CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG  
 WO 9964052 A1 19991216 WO 1999-US12876 19990608 <--  
 W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,  
 DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP,  
 KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN,  
 MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM,  
 TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU,  
 TJ, TM  
 RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,  
 ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,  
 CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG  
 WO 9964053 A1 19991216 WO 1999-US12907 19990608 <--  
 W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,  
 DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP,  
 KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN,  
 MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM,  
 TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU,  
 TJ, TM  
 RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,  
 ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,  
 CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG  
 WO 9964055 A1 19991216 WO 1999-US12994 19990608 <--  
 WO 9964055 C2 20020829

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ,  
 DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS,  
 JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK,  
 MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ,  
 TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ,  
 MD, RU, TJ, TM  
 RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,  
 ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,  
 CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG  
 WO 9963944 A2 19991216 WO 1999-US12995 19990608 <--  
 WO 9963944 A3 20000210  
 W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ,  
 DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS,  
 JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK,  
 MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ,  
 TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ,  
 MD, RU, TJ, TM  
 RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,  
 ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,  
 CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG  
 CA 2319650 AA 19991229 CA 1999-2319650 19990608 <--  
 WO 9966944 A1 19991229 WO 1999-US12989 19990608 <--  
 W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ,  
 DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS,  
 JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK,  
 MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ,  
 TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ,  
 MD, RU, TJ, TM  
 RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,  
 ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,  
 CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG  
 AU 9943368 A1 19991230 AU 1999-43368 19990608 <--  
 AU 9943376 A1 19991230 AU 1999-43376 19990608 <--  
 AU 9946747 A1 19991230 AU 1999-46747 19990608 <--  
 AU 9952039 A1 19991230 AU 1999-52039 19990608 <--  
 AU 9946776 A1 20000110 AU 1999-46776 19990608 <--  
 EP 1082289 A1 20010314 EP 1999-930185 19990608 <--  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, FI  
 EP 1083921 A1 20010321 EP 1999-955430 19990608 <--  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, FI  
 EP 1085889 A2 20010328 EP 1999-928451 19990608 <--  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, FI  
 EP 1085847 A2 20010328 EP 1999-928520 19990608 <--  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, FI  
 EP 1085868 A1 20010328 EP 1999-930150 19990608 <--  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, FI  
 EP 1085894 A1 20010328 EP 1999-937155 19990608 <--  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, FI  
 EP 1102597 A1 20010530 EP 1999-955431 19990608 <--  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, FI  
 SG 81994 A1 20010724 SG 1999-2713 19990608 <--  
 SG 83720 A1 20011016 SG 1999-2715 19990608 <--  
 SG 83721 A1 20011016 SG 1999-2717 19990608 <--  
 US 6355805 B1 20020312 US 1999-328192 19990608 <--  
 JP 2002517442 T2 20020618 JP 2000-553068 19990608 <--  
 US 6288055 B1 20010911 US 2000-499476 20000207 <--

ZA 2000003475	A	20011011	ZA 2000-3475	20000711
ZA 2000004083	A	20011112	ZA 2000-4083	20000810
ZA 2000004085	A	20011112	ZA 2000-4085	20000810
ZA 2000004087	A	20011113	ZA 2000-4087	20000810
ZA 2000004084	A	20011119	ZA 2000-4084	20000810
ZA 2000004561	A	20011130	ZA 2000-4561	20000831
ZA 2000004565	A	20011130	ZA 2000-4565	20000831
US 6713651	B1	20040330	US 2000-674451	20001101 <--
NO 2000006220	A	20010129	NO 2000-6220	20001207 <--
US 2003087306	A1	20030508	US 2001-15534	20011213 <--
US 2004186080	A1	20040923	US 2004-769219	20040130 <--
PRAI US 1998-88466P	P	19980608		
US 1998-92938P	P	19980715	<--	
WO 1999-US11786	W	19990604		
US 1999-327044	B1	19990607		
WO 1999-US11803	W	19990607		
WO 1999-US11804	W	19990607	<--	
WO 1999-US11805	W	19990607		
WO 1999-US12669	W	19990607		
WO 1999-US12673	W	19990607		
WO 1999-US12727	W	19990607		
WO 1999-US12728	W	19990607		
WO 1999-US12730	W	19990607		
WO 1999-US12731	W	19990607		
WO 1999-US12778	W	19990607		
WO 1999-US12782	W	19990607		
US 1999-327904	B1	19990608		
WO 1999-US12626	W	19990608		
WO 1999-US12770	W	19990608		
WO 1999-US12876	W	19990608		
WO 1999-US12907	W	19990608		
WO 1999-US12989	W	19990608		
WO 1999-US12994	W	19990608		
WO 1999-US12995	W	19990608		
US 2000-493462	B1	20000128		
US 2000-674451	A1	20001101	<--	

## CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 9964035	IC	A61K038-00IC A61K039-00IC A61K039-44IC A61K039-395IC A61K051-00IC G01N033-53IC G01N033-543IC G01N033-566IC C07C213-00
WO 9964035	ECLA	C07B061/00L; C07C215/60; C07C217/08; C07C323/62; C07D211/42; C07D211/56; C07D213/74D6; C07D021/80B3; C07D263/32; C07D263/34D; C07D265/32; C07D277/24; C07D277/28; C07D277/34; C07D401/12+27+211; C07D401/14R+249B+211; C07D401/14R+257+211; C07D413/06+265D+249B; C07D413/14R+265D+249B; C07D417/12+277B+213; C07D417/12+277B+263B; C07K001/04C; G01N033/94B <--
WO 9964031	ECLA	C07B061/00L; C07C215/60; C07C217/08; C07C323/62; C07D211/42; C07D211/56; C07D213/74D6; C07D021/80B3; C07D263/32; C07D263/34D; C07D265/32; C07D277/24; C07D277/28; C07D277/34; C07D401/12+27+211; C07D401/14R+249B+211; C07D401/14R+257+211; C07D413/06+265D+249B; C07D413/14R+265D+249B; C07D417/12+277B+213; C07D417/12+277B+263B; C07K001/04C; G01N033/94B <--
WO 9964034	ECLA	C07B061/00L; C07C215/60; C07C217/08; C07C323/62; C07D211/42; C07D211/56; C07D213/74D6; C07D021/80B3; C07D263/32; C07D263/34D; C07D265/32; C07D277/24; C07D277/28; C07D277/34; C07D401/12+27+211; C07D401/14R+249B+211; C07D401/14R+257+211;



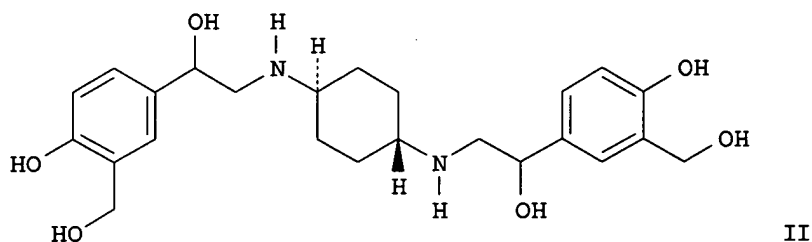
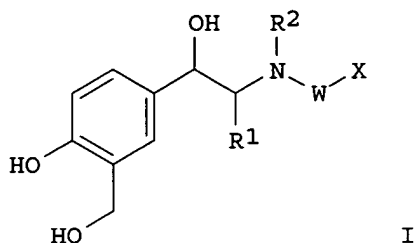
		C07D413/06+265D+249B; C07D413/14R+265D+249B; C07D417/12+277B+213; C07D417/12+277B+263B; C07K001/04C; G01N033/94B <--
WO 9963930	ECLA	C07B061/00L; C07C215/60; C07C217/08; C07C323/62; C07D211/42; C07D211/56; C07D213/74D6; C07D021/80B3; C07D263/32; C07D263/34D; C07D265/32; C07D277/24; C07D277/28; C07D277/34; C07D401/12+27+211; C07D401/14R+249B+211; C07D401/14R+257+211; C07D413/06+265D+249B; C07D413/14R+265D+249B; C07D417/12+277B+213; C07D417/12+277B+263B; C07K001/04C; G01N033/94B <--
WO 9963983	ECLA	C07B061/00L; C07C215/60; C07C323/62; C07D213/74D6; C07D213/80B3; C07D263/32; C07D263/34D; C07D277/28; C07D277/34; C07D417/12+277B+213; C07D417/12+277B+263B; C07K001/04C <--
WO 9964038	ECLA	C07B061/00L; C07C215/60; C07C217/08; C07C323/62; C07D211/42; C07D211/56; C07D213/74D6; C07D021/80B3; C07D263/32; C07D263/34D; C07D265/32; C07D277/24; C07D277/28; C07D277/34; C07D401/12+27+211; C07D401/14R+249B+211; C07D401/14R+257+211; C07D413/06+265D+249B; C07D413/14R+265D+249B; C07D417/12+277B+213; C07D417/12+277B+263B; C07K001/04C; G01N033/94B <--
WO 9964041	ECLA	C07B061/00L; C07C215/60; C07C217/08; C07C323/62; C07D211/42; C07D211/56; C07D213/74D6; C07D021/80B3; C07D263/32; C07D263/34D; C07D265/32; C07D277/24; C07D277/28; C07D277/34; C07D401/12+27+211; C07D401/14R+249B+211; C07D401/14R+257+211; C07D413/06+265D+249B; C07D413/14R+265D+249B; C07D417/12+277B+213; C07D417/12+277B+263B; C07K001/04C; G01N033/94B <--
WO 9964042	ECLA	C07B061/00L; C07C215/60; C07C217/08; C07C323/62; C07D211/42; C07D211/56; C07D213/74D6; C07D021/80B3; C07D263/32; C07D263/34D; C07D265/32; C07D277/24; C07D277/28; C07D277/34; C07D401/12+27+211; C07D401/14R+249B+211; C07D401/14R+257+211; C07D413/06+265D+249B; C07D413/14R+265D+249B; C07D417/12+277B+213; C07D417/12+277B+263B; C07K001/04C; G01N033/94B <--
WO 9963933	ECLA	C07B061/00L; C07C215/60; C07C217/08; C07C323/62; C07D211/42; C07D211/56; C07D213/74D6; C07D021/80B3; C07D263/32; C07D263/34D; C07D265/32; C07D277/24; C07D277/28; C07D277/34; C07D401/12+27+211; C07D401/14R+249B+211; C07D401/14R+257+211; C07D413/06+265D+249B; C07D413/14R+265D+249B; C07D417/12+277B+213; C07D417/12+277B+263B; C07K001/04C; G01N033/94B <--
WO 9964000	ECLA	C07B061/00L; C07D211/42; C07D211/56; C07D265/32; C07D401/12+257+211; C07D401/14R+257+211; C07D001/14R+249B+211; C07D413/06+265D+249B; C07D413/14R+265D+249B; G01N033/94B <--
WO 9963993	ECLA	C07B061/00L; C07C215/60; C07C217/08; C07C323/62; C07D211/42; C07D211/56; C07D213/74D6; C07D021/80B3; C07D263/32; C07D263/34D; C07D265/32; C07D277/24; C07D277/28; C07D277/34; C07D401/12+27+211; C07D401/14R+249B+211; C07D401/14R+257+211; C07D413/06+265D+249B; C07D413/14R+265D+249B; C07D417/12+277B+213; C07D417/12+277B+263B; C07K001/04C; G01N033/94B <--
WO 9963996	ECLA	C07B061/00L; C07C215/60; C07C217/08; C07C323/62; C07D211/42; C07D211/56; C07D213/74D6; C07D021/80B3; C07D263/32; C07D263/34D; C07D265/32; C07D277/24; C07D277/28; C07D277/34; C07D401/12+27+211;

		C07D401/14R+249B+211; C07D401/14R+257+211; C07D413/06+265D+249B; C07D413/14R+265D+249B; C07D417/12+277B+213; C07D417/12+277B+263B; C07K001/04C; G01N033/94B <--
WO 9963999	ECLA	C07B061/00L; C07C215/60; C07C217/08; C07C323/62; C07D211/42; C07D211/56; C07D213/74D6; C07D021/80B3; C07D263/32; C07D263/34D; C07D265/32; C07D277/24; C07D277/28; C07D277/34; C07D401/12+27+211; C07D401/14R+249B+211; C07D401/14R+257+211; C07D413/06+265D+249B; C07D413/14R+265D+249B; C07D417/12+277B+213; C07D417/12+277B+263B; C07K001/04C; G01N033/94B <--
WO 9963936	ECLA	C07B061/00L; C07C215/60; C07C217/08; C07C323/62; C07D211/42; C07D211/56; C07D213/74D6; C07D021/80B3; C07D263/32; C07D263/34D; C07D265/32; C07D277/24; C07D277/28; C07D277/34; C07D401/12+27+211; C07D401/14R+249B+211; C07D401/14R+257+211; C07D413/06+265D+249B; C07D413/14R+265D+249B; C07D417/12+277B+213; C07D417/12+277B+263B; C07K001/04C; G01N033/94B <--
WO 9964052	ECLA	C07B061/00L; C07C215/60; C07C217/08; C07C323/62; C07D211/42; C07D211/56; C07D213/74D6; C07D021/80B3; C07D263/32; C07D263/34D; C07D265/32; C07D277/24; C07D277/28; C07D277/34; C07D401/12+27+211; C07D401/14R+249B+211; C07D401/14R+257+211; C07D413/06+265D+249B; C07D413/14R+265D+249B; C07D417/12+277B+213; C07D417/12+277B+263B; C07K001/04C; G01N033/94B <--
WO 9964053	ECLA	C07B061/00L; C07C215/60; C07C217/08; C07C323/62; C07D211/42; C07D211/56; C07D213/74D6; C07D021/80B3; C07D263/32; C07D263/34D; C07D265/32; C07D277/24; C07D277/28; C07D277/34; C07D401/12+27+211; C07D401/14R+249B+211; C07D401/14R+257+211; C07D413/06+265D+249B; C07D413/14R+265D+249B; C07D417/12+277B+213; C07D417/12+277B+263B; C07K001/04C; G01N033/94B <--
WO 9964055	ECLA	C07B061/00L; C07C215/60; C07C217/08; C07C323/62; C07D211/42; C07D211/56; C07D213/74D6; C07D021/80B3; C07D263/32; C07D263/34D; C07D265/32; C07D277/24; C07D277/28; C07D277/34; C07D401/12+27+211; C07D401/14R+249B+211; C07D401/14R+257+211; C07D413/06+265D+249B; C07D413/14R+265D+249B; C07D417/12+277B+213; C07D417/12+277B+263B; C07K001/04C; G01N033/94B <--
WO 9963944	ECLA	C07B061/00L; C07C215/60; C07C217/08; C07C323/62; C07D211/42; C07D211/56; C07D213/74D6; C07D021/80B3; C07D263/32; C07D263/34D; C07D265/32; C07D277/24; C07D277/28; C07D277/34; C07D401/12+27+211; C07D401/14R+249B+211; C07D401/14R+257+211; C07D413/06+265D+249B; C07D413/14R+265D+249B; C07D417/12+277B+213; C07D417/12+277B+263B; C07K001/04C; G01N033/94B <--
WO 9966944	ECLA	C07B061/00L; C07C215/60; C07C217/08; C07C323/62; C07D211/42; C07D211/56; C07D213/74D6; C07D021/80B3; C07D263/32; C07D263/34D; C07D265/32; C07D277/24; C07D277/28; C07D277/34; C07D401/12+27+211; C07D401/14R+249B+211; C07D401/14R+257+211; C07D413/06+265D+249B; C07D413/14R+265D+249B; C07D417/12+277B+213; C07D417/12+277B+263B; C07K001/04C; G01N033/94B <--
US 2003087306	ECLA	C07B061/00L; C07D263/32; C07D263/34D; C07D265/32; C07D277/24; C07D277/28; C07D277/34; C07D; C07D401/14R+257+211; C07D401/14R+249B+211;

US 2004186080 ECLA

C07D413/06+265D+249B; C07D413/14R+265D+29B;  
 C07D417/12+277B+263B; C07D417/12+277B+213; C07K001/04C;  
 G01N033/94B; C07C215/60; C07C323/62; C07D211/42;  
 C07D211/56; C07D213/74D6; C07D213/80B3 <--  
 C07B061/00L; C07C215/60; C07C217/10; C07C323/62;  
 C07D211/42; C07D211/56; C07D213/74D6; C07D021/80B3;  
 C07D263/32; C07D263/34D; C07D265/32; C07D277/24;  
 C07D277/28; C07D277/34; C07D295/12B1;  
 C07D401/12+257+211; C07D401/14R+249B+211;  
 C07D401/14R+257+211; C07D413/06+265D+249B; C07D;  
 C07D417/12+277B+213; C07D417/12+277B+263B; C07K001/04C;  
 G01N033/94B <--

OS MARPAT 134:131310  
 GI



AB Methods for preparing novel multibinding phenolic compds., LpXq [where L = a ligand capable of binding to a  $\beta$ 2-adrenergic receptor; X = a linker; p = 2-10; q = 1-20], which serve as  $\beta$ 2-adrenergic receptor agonists, are disclosed. Preferred ligands are of formula I [R1 = H, (un)substituted alkyl, or a bond linking ligand to linker; R2 = H, aralkyl, acyl, (un)substituted alkyl, cycloalkyl or a bond linking ligand to linker; W = bond, (un)substituted alkylene wherein one or more carbon atoms is optionally replaced by NR3, O, S, SO, SO2, CO, P-alkyl, PO2, OP(O)O or the alkylene optionally links the ligand to a linker with provisions; R3 = H, alkyl, acyl, or bond linking ligand to linker; X = aryl, heteroaryl, heterocyclyl and (un)substituted cycloalkyl wherein each X optionally links the ligand to the linker]. II was prepared from  $\alpha,\alpha$ -dihydroxy-4-hydroxy-3-methoxycarbonylacetophenone via condensation with trans-1,4-diaminocyclohexane with subsequent reduction of intermediate imine. In addition, combinatorial arrays of multimeric ligands and methods of assaying the multimeric ligands are embodied by the invention. As  $\beta$ 2-adrenergic receptor agonists, the compds. are useful in the treatment and prevention of respiratory diseases such as asthma, bronchitis (no data). The title compds. are also useful in the treatment of nervous system injuries and premature labor. Formulations for capsules, tablets, dry power inhaler, suppositories and suspensions are described.

ST phenol multibinding prepn beta adrenergic receptor agonist; combinatorial

array multibinding phenol beta adrenergic receptor agonist; multimeric  
ligand beta adrenergic receptor agonist; adrenergic receptor agonist  
respiratory disease prevention asthma bronchitis

IT Respiratory tract  
(disease, treatment of; preparation of phenolic  $\beta$ 2-adrenergic receptor  
agonists)

IT Structure-activity relationship  
(ligand-binding; preparation of phenolic  $\beta$ 2-adrenergic receptor  
agonists)

IT Antiasthmatics  
Combinatorial library  
Drug delivery systems  
(preparation of phenolic  $\beta$ 2-adrenergic receptor agonists)

IT Adrenoceptor agonists  
( $\beta$ 2-; preparation of phenolic  $\beta$ 2-adrenergic receptor agonists)

IT Adrenoceptors  
RL: BSU (Biological study, unclassified); BIOL (Biological study)  
( $\beta$ 2; preparation of phenolic  $\beta$ 2-adrenergic receptor agonists)

IT 321708-20-7P 321708-23-0P 321708-25-2P  
321708-27-4P 321708-29-6P 321708-31-0P 321708-33-2P  
321708-35-4P 321708-37-6P 321708-39-8P 321708-41-2P  
321708-43-4P 321708-45-6P 321708-47-8P 321708-49-0P 321708-51-4P  
321708-53-6P 321708-54-7P 321708-56-9P 321708-57-0P 321708-60-5P  
321709-02-8P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological  
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);  
BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of phenolic  $\beta$ 2-adrenergic receptor agonists)

IT 80-52-4, 1,8-Diamino-p-menthane 100-39-0, Benzylbromide 100-52-7,  
Benzaldehyde, reactions 101-80-4, 4,4'-Oxydianiline 101-90-6,  
Resorcinol diglycidyl ether 539-48-0, 1,4-Benzenedimethanamine  
629-09-4, 1,6-Diiodohexane 1075-06-5,  $\alpha,\alpha$ -  
Dihydroxyacetophenone 1477-55-0, 1,3-Benzenedimethanamine 1572-55-0  
1761-71-3, 4,4'-Methylenebis(cyclohexylamine) 2461-42-9 2579-20-6,  
1,3-Cyclohexanebis(methylamine) 2615-25-0, trans-1,4-Diaminocyclohexane  
4403-69-4, 2-Aminobenzylamine 4403-71-8, 4-Aminobenzylamine 6621-59-6,  
6-Bromohexanenitrile 7209-38-3, 1,4-Bis(3-aminopropyl)piperazine  
10210-17-0, 3-(4-Hydroxyphenyl)-1-propanol 13472-00-9,  
2-(4-Aminophenyl)ethylamine 16475-90-4 20780-53-4 94749-70-9  
321709-19-7  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(preparation of phenolic  $\beta$ 2-adrenergic receptor agonists)

IT 27475-09-8P 27475-14-5P 29754-58-3P 92900-77-1P 94838-59-2P  
321708-64-9P 321708-67-2P 321708-69-4P 321708-72-9P 321708-74-1P  
321708-76-3P 321708-78-5P 321708-80-9P 321708-82-1P 321708-84-3P  
321708-86-5P 321708-88-7P 321708-89-8P 321708-90-1P 321708-92-3P  
321708-94-5P 321708-98-9P 321709-00-6P 321710-07-0P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation of phenolic  $\beta$ 2-adrenergic receptor agonists)

RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

- (1) Goodman; US 4587046 A 1986 HCAPLUS
- (2) Janssen, P; A New Form of Cardiovascular Therapy? 1991, V3(Suppl 1), P1
- (3) Kierstead; J Med Chem 1983, V26, P1561 HCAPLUS
- (4) Machin; J Med Chem 1983, V26, P1570 HCAPLUS
- (5) Neorx Corporation; WO 9205802 A1 1992 HCAPLUS
- (6) Pitha; J Med Chem 1983, V26, P7 HCAPLUS
- (7) Pitha; Proc Natl Acad Sci USA 1990, V77(4), P2219
- (8) Shuker; Science 1996, V274, P1531 HCAPLUS
- (9) Siegel; Mol Diversity 1998, V3(2), P113
- (10) The Salk Institute For Biological Studies; WO 9735195 A1 1997 HCAPLUS

IT 321708-20-7P 321708-25-2P 321708-27-4P

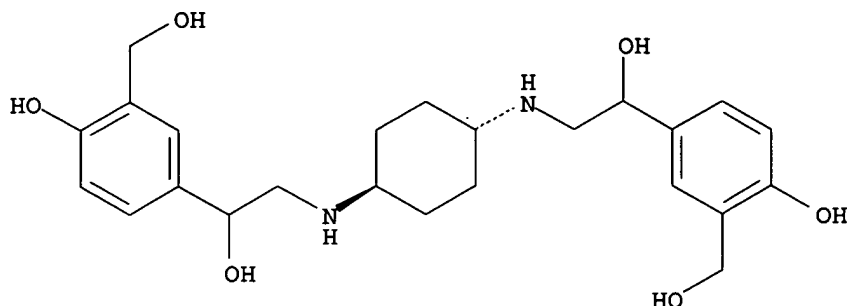
**321708-29-6P 321708-35-4P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of phenolic  $\beta$ 2-adrenergic receptor agonists)

RN 321708-20-7 HCAPLUS

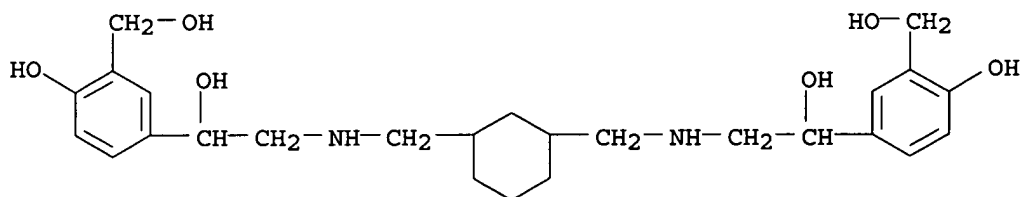
CN 1,3-Benzenedimethanol,  $\alpha$ 1, $\alpha$ 1'-[trans-1,4-cyclohexanediylbis(iminomethylene)]bis[4-hydroxy- (9CI) (CA INDEX NAME)

Relative stereochemistry.



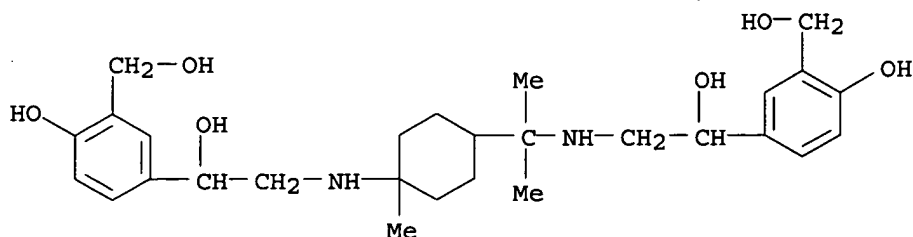
RN 321708-25-2 HCAPLUS

CN 1,3-Benzenedimethanol,  $\alpha$ 1, $\alpha$ 1'-[1,3-cyclohexanediylbis(methyleneiminomethylene)]bis[4-hydroxy- (9CI) (CA INDEX NAME)



RN 321708-27-4 HCAPLUS

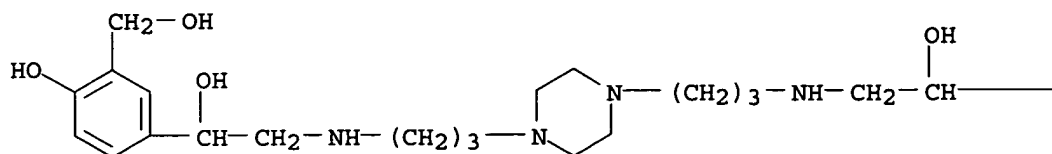
CN 1,3-Benzenedimethanol, 4-hydroxy- $\alpha$ 1-[[[1-[4-[2-hydroxy-2-[4-hydroxy-3-(hydroxymethyl)phenyl]ethyl]amino]-4-methylcyclohexyl]-1-methylethyl]amino]methyl]- (9CI) (CA INDEX NAME)



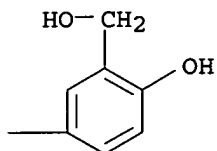
RN 321708-29-6 HCAPLUS

CN 1,3-Benzenedimethanol,  $\alpha$ 1, $\alpha$ 1'-[1,4-piperazinediylbis(3,1-propanediyliminomethylene)]bis[4-hydroxy- (9CI) (CA INDEX NAME)

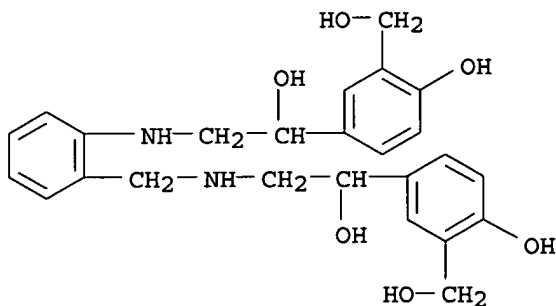
PAGE 1-A



PAGE 1-B



RN 321708-35-4 HCAPLUS  
 CN 1,3-Benzenedimethanol, 4-hydroxy-α1-[[[2-[[[2-hydroxy-2-[4-hydroxy-3-(hydroxymethyl)phenyl]ethyl]amino]methyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)



=> fil uspatfull

FILE 'USPATFULL' ENTERED AT 15:28:31 ON 07 FEB 2005  
 CA INDEXING COPYRIGHT (C) 2005 AMERICAN CHEMICAL SOCIETY (ACS)

FILE COVERS 1971 TO PATENT PUBLICATION DATE: 3 Feb 2005 (20050203/PD)  
 FILE LAST UPDATED: 3 Feb 2005 (20050203/ED)  
 HIGHEST GRANTED PATENT NUMBER: US6851122  
 HIGHEST APPLICATION PUBLICATION NUMBER: US2005028237  
 CA INDEXING IS CURRENT THROUGH 3 Feb 2005 (20050203/UPCA)  
 ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 3 Feb 2005 (20050203/PD)  
 REVISED CLASS FIELDS (/NCL) LAST RELOADED: Dec 2004  
 USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Dec 2004

>>> USPAT2 is now available. USPATFULL contains full text of the	<<<
>>> original, i.e., the earliest published granted patents or	<<<
>>> applications. USPAT2 contains full text of the latest US	<<<
>>> publications, starting in 2001, for the inventions covered in	<<<
>>> USPATFULL. A USPATFULL record contains not only the original	<<<
>>> published document but also a list of any subsequent	<<<
>>> publications. The publication number, patent kind code, and	<<<

```

>>> publication date for all the US publications for an invention <<<
>>> are displayed in the PI (Patent Information) field of USPATFULL <<<
>>> records and may be searched in standard search fields, e.g., /PN, <<<
>>> /PK, etc. <<<

>>> USPATFULL and USPAT2 can be accessed and searched together <<<
>>> through the new cluster USPATALL. Type FILE USPATALL to <<<
>>> enter this cluster. <<<
>>> <<<
>>> Use USPATALL when searching terms such as patent assignees, <<<
>>> classifications, or claims, that may potentially change from <<<
>>> the earliest to the latest publication. <<<

```

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d bib abs hitstr

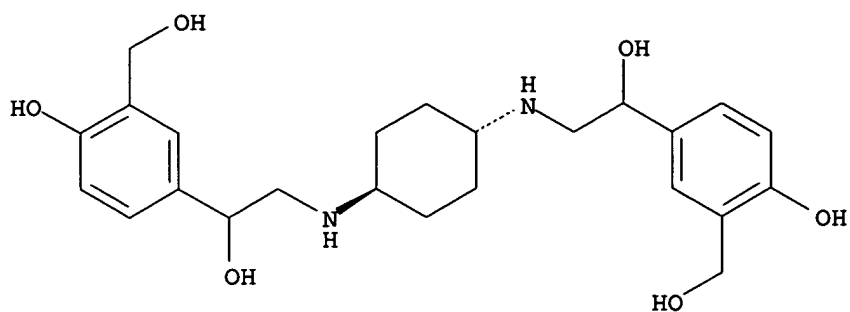
```

L22 ANSWER 1 OF 1 USPATFULL on STN
AN 2002:106440 USPATFULL
TI Beta2-adrenergic receptor agonists
IN Moran, Edmund J., San Francisco, CA, UNITED STATES
Griffin, John H., Atherton, CA, UNITED STATES
Choi, Seok-Ki, Palo Alto, CA, UNITED STATES
PI US 2002055651 A1 20020509
US 6683115 B2 20040127
AI US 2001-934982 A1 20010821 (9)
RLI Continuation of Ser. No. US 1999-323943, filed on 2 Jun 1999, UNKNOWN
DT Utility
FS APPLICATION
LREP Gerald F. Swiss, BURNS DOANE, SWECKER & MATHIS, L.L.P., P.O.Box 1404,
Alexandria, VA, 22313-1404
CLMN Number of Claims: 49
ECL Exemplary Claim: 1
DRWN 10 Drawing Page(s)
LN.CNT 4112
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Disclosed are multibinding compounds which are  $\beta$ 2 adrenergic
receptor agonists and are useful in the treatment and prevention of
respiratory diseases such as asthma, bronchitis. They are also useful in
the treatment of nervous system injury and premature labor.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT 321708-20-7P, 1,3-Benzenedimethanol,  $\alpha$ 1, $\alpha$ 1'-[trans-
1,4-cyclohexanediylbis(iminomethylene)]bis[4-hydroxy-
321708-25-2P, 1,3-Benzenedimethanol,  $\alpha$ 1, $\alpha$ 1'-[1,3-
cyclohexanediylbis(methyleneiminomethylene)]bis[4-hydroxy-
321708-27-4P, 1,3-Benzenedimethanol, 4-hydroxy- $\alpha$ 1-[[[1-[4-
[[2-hydroxy-2-[4-hydroxy-3-(hydroxymethyl)phenyl]ethyl]amino]-4-
methylcyclohexyl]-1-methylethyl]amino]methyl]- 321708-29-6P,
1,3-Benzenedimethanol,  $\alpha$ 1, $\alpha$ 1'-[1,4-piperazinediylbis(3,1-
propanediyliminomethylene)]bis[4-hydroxy- 321708-35-4P,
1,3-Benzenedimethanol, 4-hydroxy- $\alpha$ 1-[[[2-[[[2-hydroxy-2-[4-hydroxy-
3-(hydroxymethyl)phenyl]ethyl]amino]methyl]phenyl]amino]methyl]-
(preparation of phenolic  $\beta$ 2-adrenergic receptor agonists)
RN 321708-20-7 USPATFULL
CN 1,3-Benzenedimethanol,  $\alpha$ 1, $\alpha$ 1'-[trans-1,4-
cyclohexanediylbis(iminomethylene)]bis[4-hydroxy- (9CI) (CA INDEX NAME)

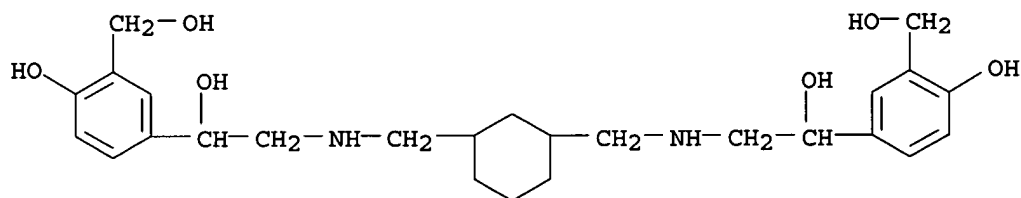
Relative stereochemistry.

```



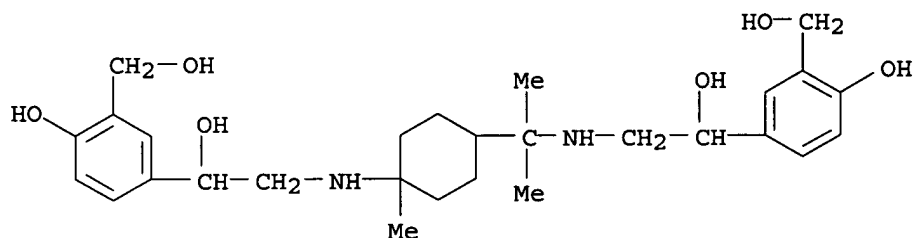
RN 321708-25-2 USPATFULL

CN 1,3-Benzenedimethanol, α1,α1'-[1,3-cyclohexanediylbis(methyleneiminomethylene)]bis[4-hydroxy- (9CI) (CA INDEX NAME)



RN 321708-27-4 USPATFULL

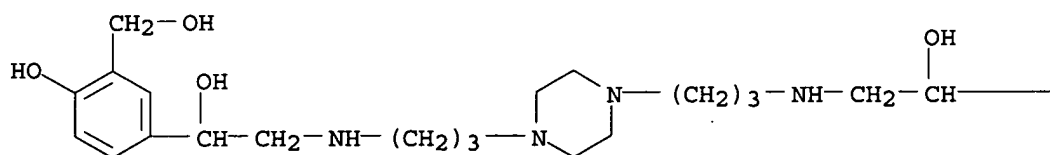
CN 1,3-Benzenedimethanol, 4-hydroxy-α1-[[[1-[4-[[2-hydroxy-2-[4-hydroxy-3-(hydroxymethyl)phenyl]ethyl]amino]-4-methylcyclohexyl]-1-methylethyl]amino]methyl]- (9CI) (CA INDEX NAME)



RN 321708-29-6 USPATFULL

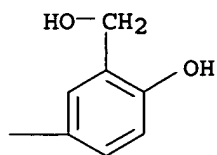
CN 1,3-Benzenedimethanol, α1,α1'-[1,4-piperazinediylbis(3,1-propanediyliminomethylene)]bis[4-hydroxy- (9CI) (CA INDEX NAME)

PAGE 1-A



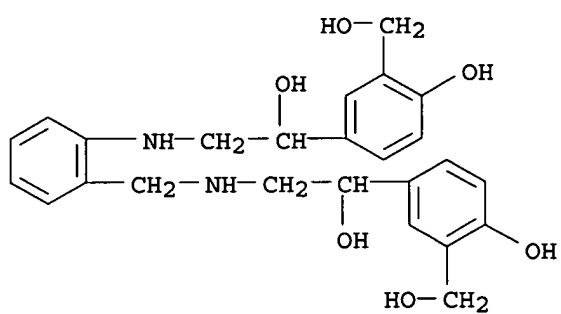


PAGE 1-B



RN 321708-35-4 USPATFULL

CN 1,3-Benzenedimethanol, 4-hydroxy- $\alpha$ 1-[[[2-[[[2-hydroxy-2-[4-hydroxy-3-(hydroxymethyl)phenyl]ethyl]amino]methyl]phenyl]amino]methyl] - (9CI)  
(CA INDEX NAME)



=&gt;